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* * * * * Welcome to STN International * * * * *

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NEWS 4 MAR 31 CA/CAPplus and CASREACT patent number format for U.S.
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NEWS 6 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
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NEWS 8 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
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NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements
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NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
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NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 14 JUN 06 KOREAPAT updated with 41,000 documents
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character
patent numbers for U.S. applications
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from
web-based collections
NEWS 17 JUN 25 CA/CAPplus and USPAT databases updated with IPC
reclassification data
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S.
patent records
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
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Assistant and BLAST plug-in
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 22 JUL 28 CA/CAPplus patent coverage enhanced
NEWS 23 JUL 28 EPFULL enhanced with additional legal status
information from the epoline Register
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS 25 JUL 28 STN Viewer performance improved

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:11:37 ON 29 JUL 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:11:47 ON 29 JUL 2008

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STRUCTURE FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0

DICTIONARY FILE UPDATES: 28 JUL 2008 HIGHEST RN 1036756-19-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

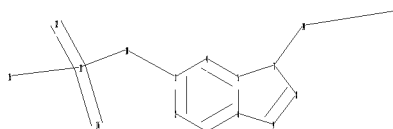
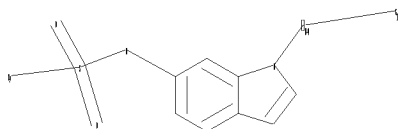
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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=>

Uploading C:\Program Files\Stnexp\Queries\10566101a.str



```

chain nodes :
10 11 12 14 15 16 17
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
3-10 7-14 10-11 11-12 11-15 11-16 14-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
3-10 5-7 7-8 7-14 10-11 11-12 11-15 11-16 14-17
exact bonds :
6-9 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

```

G1: Cy, N

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:Atom 16:CLASS 17:CLASS

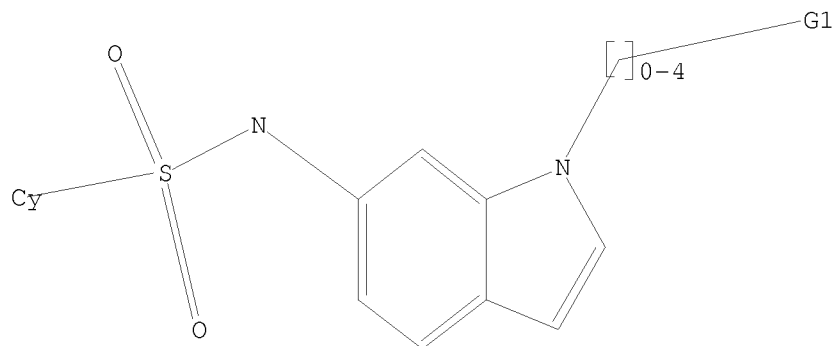
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L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cy,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 12:12:09 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 4764 TO ITERATE

100.0% PROCESSED 4764 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

L2 20 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 12:12:13 ON 29 JUL 2008

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FILE COVERS 1907 - 29 Jul 2008 VOL 149 ISS 5

FILE LAST UPDATED: 28 Jul 2008 (20080728/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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<http://www.cas.org/legal/infopolicy.html>

=> s l2 full

L3 8 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410811 CAPLUS

DOCUMENT NUMBER: 146:421837

TITLE: Preparation of fused pyrrole derivatives as GR modulators

INVENTOR(S): Sone, Toshihiko; Sawaki, Rieko; Nakajima, Tomoko

PATENT ASSIGNEE(S): Dainippon Sumitomo Pharma Co., Ltd., Japan

SOURCE: PCT Int. Appl., 403pp.

CODEN: PIXXD2

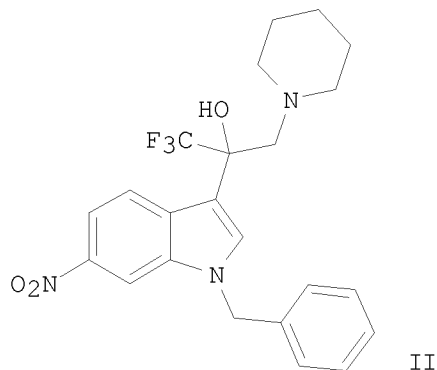
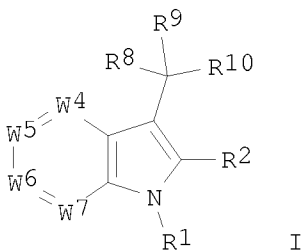
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2007040166	A1	20070412	WO 2006-JP319426	20060929
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006298164	A1	20070412	AU 2006-298164	20060929
CA 2623154	A1	20070412	CA 2006-2623154	20060929
EP 1930320	A1	20080611	EP 2006-810832	20060929
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
KR 2008063288	A	20080703	KR 2008-707427	20080327
IN 2008DN02633	A	20080704	IN 2008-DN2633	20080328
PRIORITY APPLN. INFO.:			JP 2005-286576	A 20050930
			WO 2006-JP319426	W 20060929
OTHER SOURCE(S):	MARPAT 146:421837			
GI				



AB Title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, carboxyl, etc.; -W4:W5-W6:W7- = -CR4:CR5-CR6:CR7-, -N:CR5-CR6:CR7-, -CR4:N-CR6:CR7-, etc.; R4-R7 = -E-A; E = single bond, -O-, -CO-, etc.; when E is a single bond, A is H, halo, cyano, etc.; when E is -O-, -CO-, etc., A is H, (un)substituted alkyl, (un)substituted cycloalkyl, etc.; R8 = -OR11, -SR11, -N(R11)R12; R11, R12 = H, (un)substituted alkyl; R9 = alkyl substituted with halo, cycloalkyl substituted with halo; R10 = -[C(R13)R14]n-R15; R13, R14 = H, alkyl, halo; R13 and R14 may combine to form a oxo group; or R13 and R14, together with the carbon atom to which they are attached, form a cycloalkane (one or two -CH2- in cycloalkane may be replaced with -NH-, -S-, -S(:O)-, etc.); n = 0-10; R15 = hydroxy, (un)substituted alkyl, (un)substituted alkenyl, etc.], prodrugs or pharmaceutically acceptable salts were prepared For example, reaction of 1-(1-benzyl-6-nitro-1H-indol-3-yl)-2,2,2-trifluoroethanone, e.g., prepared from 6-nitroindole in 2 steps, with trimethylphosphonium iodide followed by treatment with piperidine afforded compound II. In glucocorticoid receptor (GR) binding assays, compound II exhibited the inhibitory activity of 92% at 100 nM. Compds. I are claimed useful for the treatment of inflammation and diabetes.

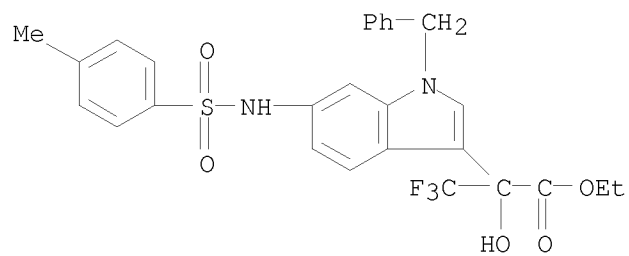
IT 934224-55-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused pyrrole derivs. as GR modulators for treatment of inflammation and diabetes)

RN 934224-55-2 CAPLUS

CN 1H-Indole-3-acetic acid, α -hydroxy-6-[[[4-methylphenyl)sulfonyl]amino]-1-(phenylmethyl)- α -(trifluoromethyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

51

THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:470334 CAPLUS

DOCUMENT NUMBER: 143:125834

TITLE: A Three-Dimensional Pharmacophore Model for
5-Hydroxytryptamine₆ (5-HT₆) Receptor Antagonists

AUTHOR(S): Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la
Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo;
Campillo, Mercedes

CORPORATE SOURCE: Departamento de Quimica Organica I, Facultad de
Ciencias Quimicas, Universidad Complutense, Madrid,
E-28040, Spain

SOURCE: Journal of Medicinal Chemistry (2005), 48(13),
4216-4219

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Forty-five structurally diverse 5-hydroxytryptamine₆ receptor (5-HT₆R)
antagonists were selected to develop a 3D pharmacophore model with the
Catalyst software. The structural features for antagonism at this
receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen
bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic
site interacting with residues in a hydrophobic pocket between
transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting
with Phe6.52.

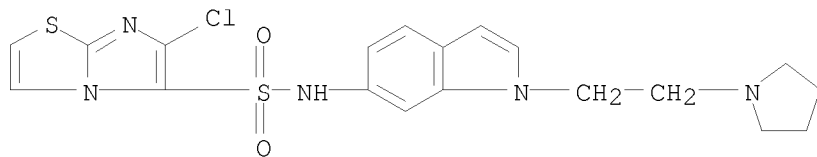
IT 753020-94-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(three-dimensional pharmacophore model for 5-HT₆ receptor antagonists)

RN 753020-94-9 CAPLUS

CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-
pyrrolidiny)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT:

45

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136598 CAPLUS

DOCUMENT NUMBER: 142:240323

TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 427 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005014045	A1	20050217	WO 2004-EP8514	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2228268	A1	20050401	ES 2003-1815	20030730
ES 2228268	B1	20060701		
AU 2004262488	A1	20050217	AU 2004-262488	20040729
CA 2534099	A1	20050217	CA 2004-2534099	20040729
EP 1660131	A1	20060531	EP 2004-741321	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
IN 2005DN06119	A	20080711	IN 2005-DN6119	20051228
MX 2006PA01230	A	20060515	MX 2006-PA1230	20060130
US 20070009597	A1	20070111	US 2006-566402	20060705
PRIORITY APPLN. INFO.:			ES 2003-1815	A 20030730
			WO 2004-EP8514	W 20040729

OTHER SOURCE(S): CASREACT 142:240323; MARPAT 142:240323

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;

A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5,

(un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

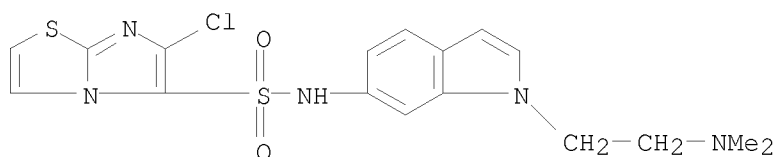
IT 753020-88-1P 753020-90-5P 753020-91-6P
753020-94-9P 753020-96-1P 753020-97-2P
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844477-70-9P 844477-72-1P 844477-79-8P
844477-84-5P 844477-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

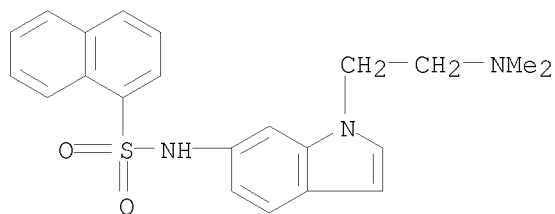
RN 753020-88-1 CAPLUS

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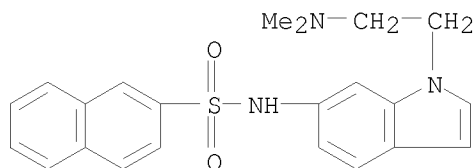
RN 753020-90-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 753020-91-6 CAPLUS

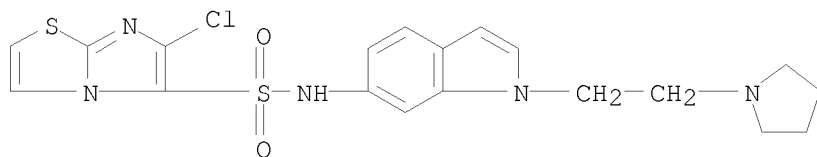
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RN 753020-94-9 CAPLUS

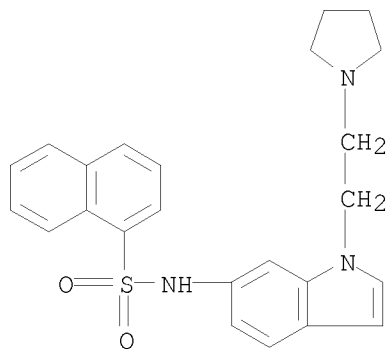
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-

pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



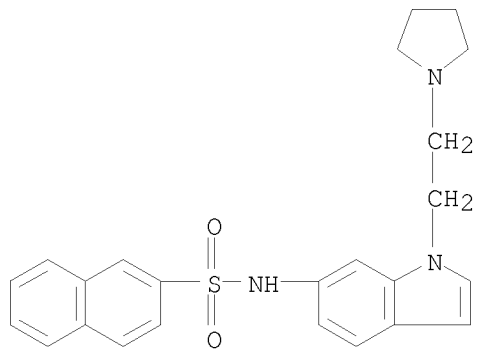
RN 753020-96-1 CAPLUS

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(CA INDEX NAME)



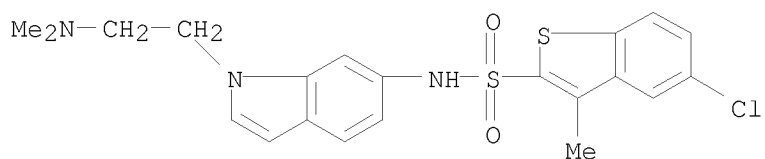
RN 753020-97-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)

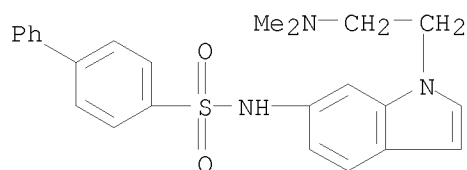


RN 844477-59-4 CAPLUS

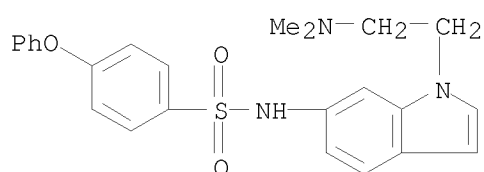
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



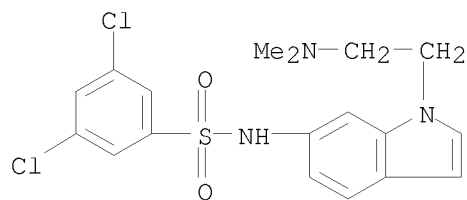
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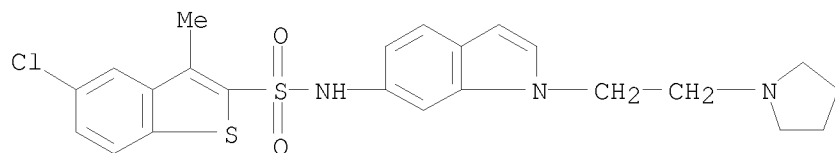
RN 844477-68-5 CAPLUS
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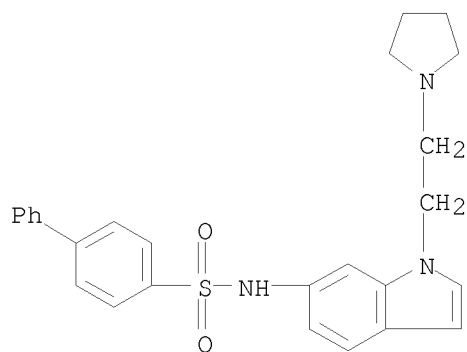
RN 844477-70-9 CAPLUS
 CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



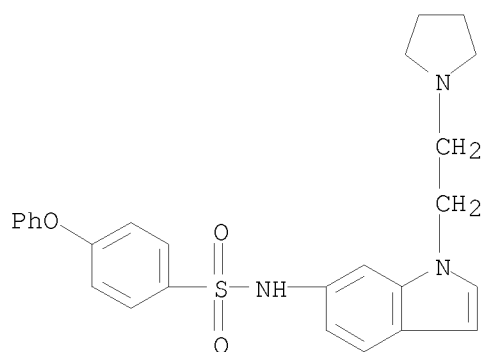
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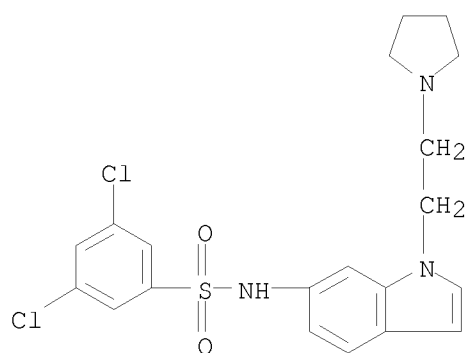
RN 844477-79-8 CAPLUS
 CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-84-5 CAPLUS
 CN Benzenesulfonamide, 4-phenoxy-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-87-8 CAPLUS
 CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136568 CAPLUS

DOCUMENT NUMBER: 142:240322

TITLE: Active substance combination comprising a compound with NPY receptor affinity and a compound with 5-HT6 receptor affinity

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola Constansa, Jordi; Buschmann, Helmut-Heinrich

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 451 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005014000	A1	20050217	WO 2004-EP8515	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2228267	A1	20050401	ES 2003-1814	20030730
ES 2228267	B1	20060701		
AU 2004262489	A1	20050217	AU 2004-262489	20040729
CA 2534100	A1	20050217	CA 2004-2534100	20040729
EP 1648468	A1	20060426	EP 2004-763612	20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
IN 2005DN06118	A	20080711	IN 2005-DN6118	20051228
MX 2006PA01232	A	20060515	MX 2006-PA1232	20060130
US 20070059364	A1	20070315	US 2006-566100	20061026
PRIORITY APPLN. INFO.:			ES 2003-1814	A 20030730
			WO 2004-EP8515	W 20040729

OTHER SOURCE(S): MARPAT 142:240322

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.]

with

neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.;

R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

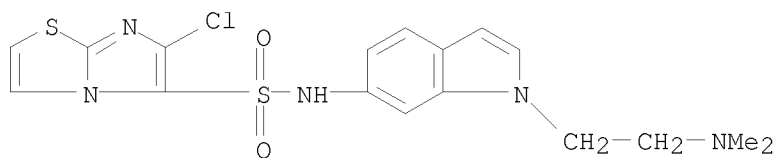
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 844477-70-9P 844477-72-1P 844477-79-8P
 844477-84-5P 844477-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

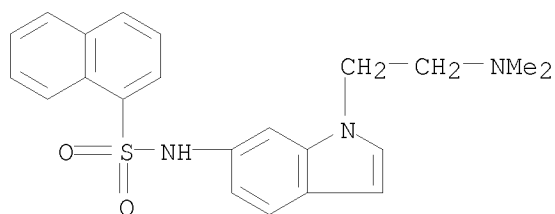
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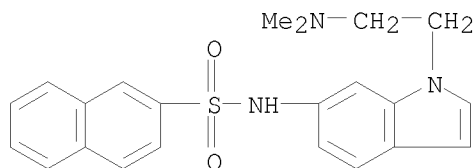
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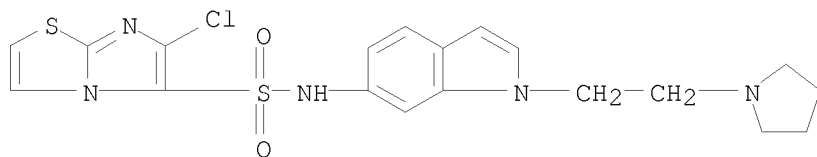
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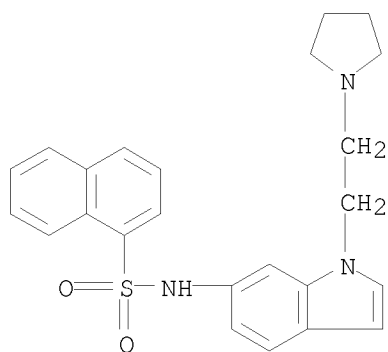
RN 753020-94-9 CAPLUS

CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



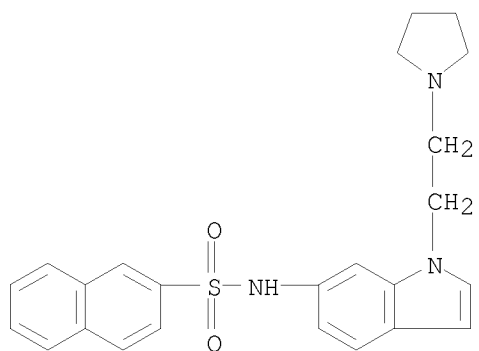
RN 753020-96-1 CAPLUS

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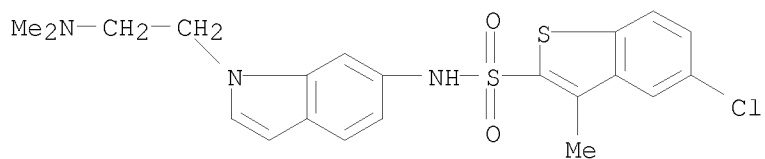
RN 753020-97-2 CAPLUS

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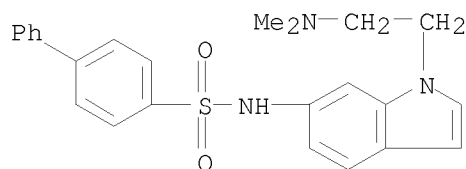


RN 844477-59-4 CAPLUS

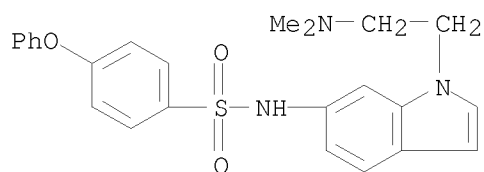
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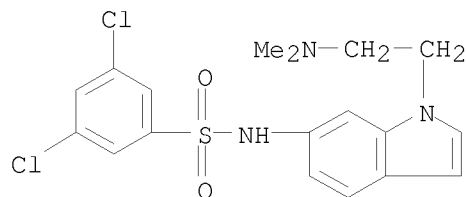
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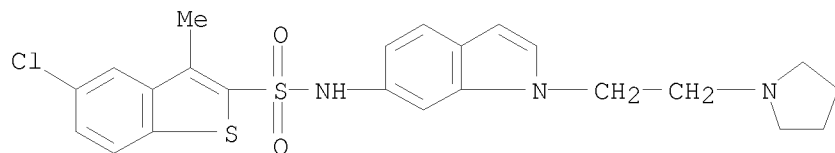
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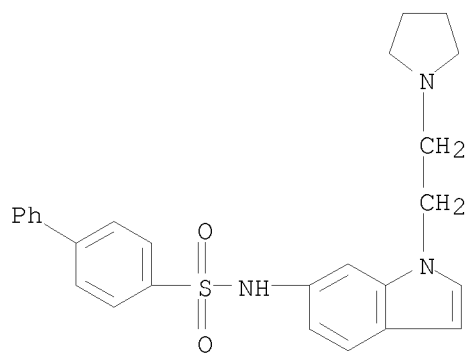
RN 844477-70-9 CAPLUS
 CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



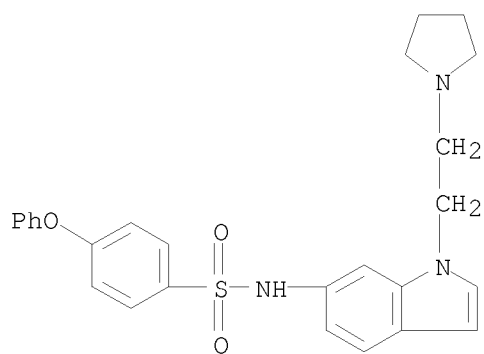
RN 844477-72-1 CAPLUS
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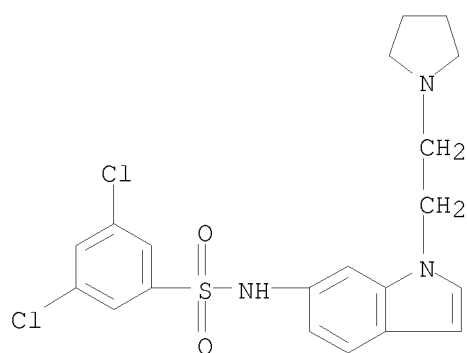
RN 844477-79-8 CAPLUS
 CN [1,1'-Biphenyl]-4-sulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-84-5 CAPLUS
 CN Benzenesulfonamide, 4-phenoxy-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RN 844477-87-8 CAPLUS
 CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:136548 CAPLUS

DOCUMENT NUMBER: 142:240309

TITLE: Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT₆ modulators

INVENTOR(S): Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto

PATENT ASSIGNEE(S): Laboratorios del Esteve S. A., Spain

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

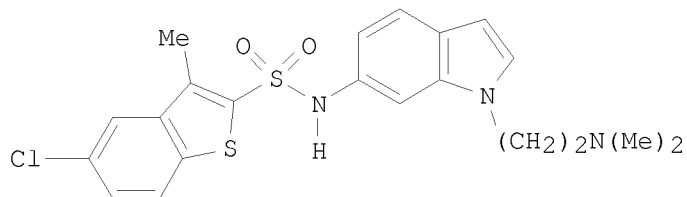
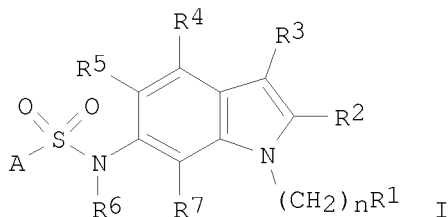
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2004262484	A1	20050217	AU 2004-262484	20040729
CA 2533970	A1	20050217	CA 2004-2533970	20040729
EP 1660077	A1	20060531	EP 2004-741319	20040729
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CN 1832738	A	20060913	CN 2004-80022271	20040729
BR 2004013112	A	20061003	BR 2004-13112	20040729
JP 2007500164	T	20070111	JP 2006-521528	20040729
NZ 545301	A	20080530	NZ 2004-545301	20040729
MX 2006PA01141	A	20060424	MX 2006-PA1141	20060127
NO 2006000682	A	20060210	NO 2006-682	20060210
US 20070043041	A1	20070222	US 2006-566101	20060810
PRIORITY APPLN. INFO.:			ES 2003-1810	A 20030730
			WO 2004-EP8510	W 20040729
OTHER SOURCE(S):			CASREACT 142:240309; MARPAT 142:240309	
GI				



II

AB Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

=

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10⁻⁶M concns.

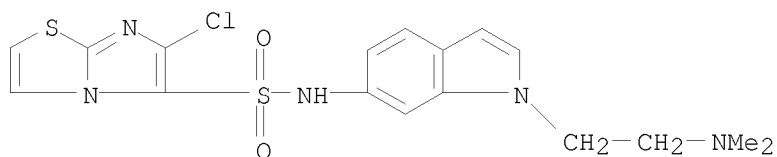
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844477-70-9P 844477-72-1P 844477-79-8P
844477-84-5P 844477-87-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

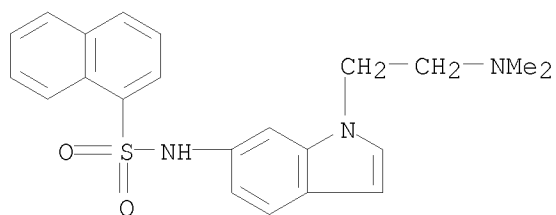
(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

RN 753020-88-1 CAPLUS

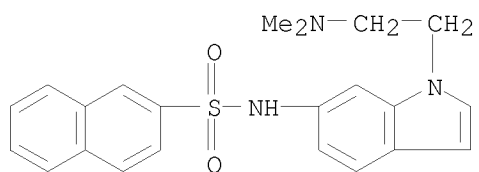
CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



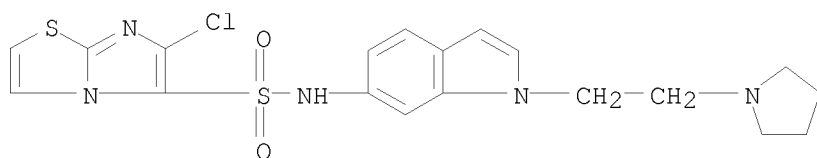
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 (CA INDEX NAME)



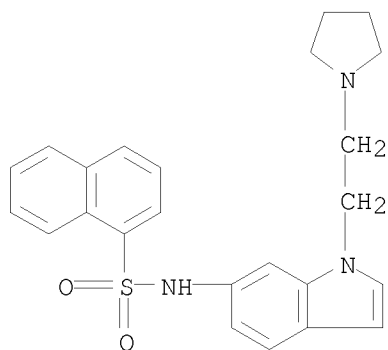
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 (CA INDEX NAME)



RN 753020-94-9 CAPLUS
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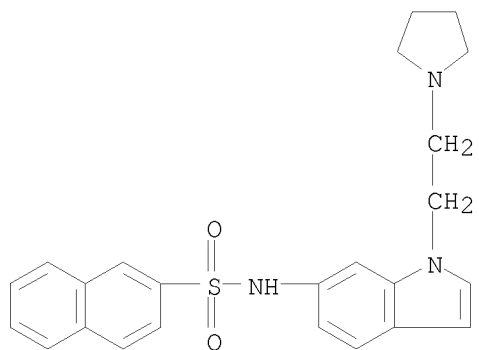


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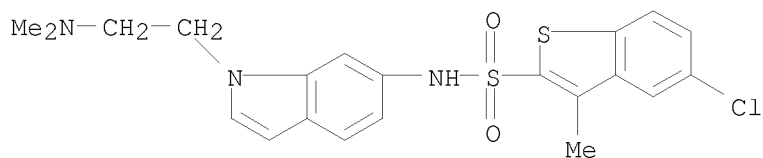
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(CA INDEX NAME)



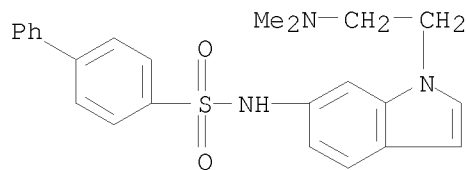
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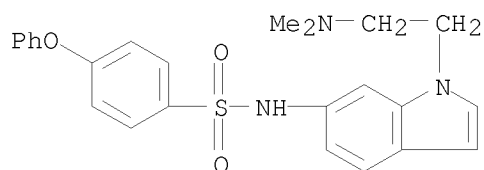
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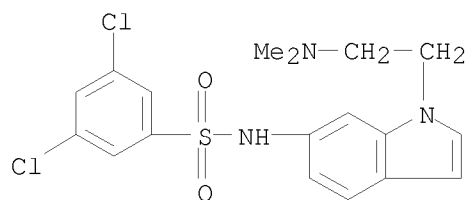
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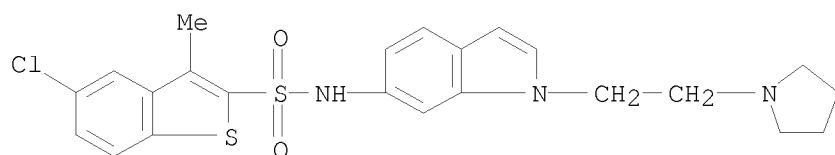
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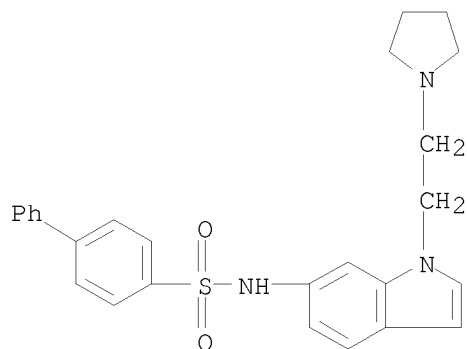
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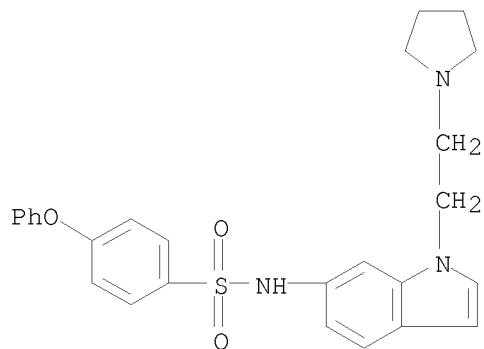
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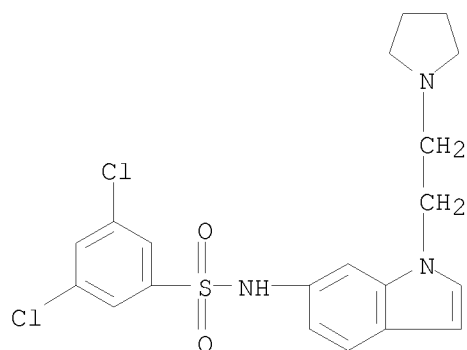
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RN 844477-87-8 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT:

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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:725572 CAPLUS
 DOCUMENT NUMBER: 142:211383
 TITLE: Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT₆ Receptor Ligands
 AUTHOR(S): Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut
 CORPORATE SOURCE: Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain
 SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1781-1795
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 142:211383

AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT₆ receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT₆ ligands. Many of the compds. described in this paper possess excellent affinities, displaying pK_i values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors. First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared. Compds. 19c and 19g represent the highest-affinity 5-HT₆ agonists ever reported in the literature. These valuable tool compds. should allow for the detailed study of the role of the 5-HT₆ receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

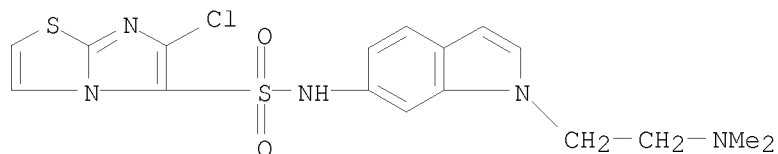
IT 753020-88-1P 753020-89-2P 753020-90-5P
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 753020-96-1P 753020-97-2P 844477-72-1P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(medicinal chemical driven approaches toward novel and selective serotonin 5-HT₆ receptor ligands)

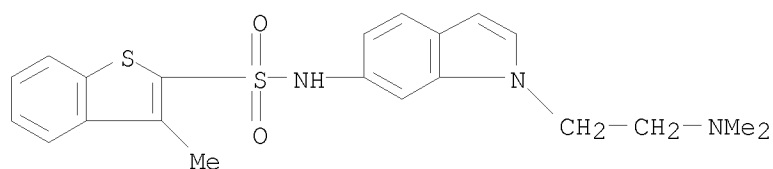
RN 753020-88-1 CAPLUS

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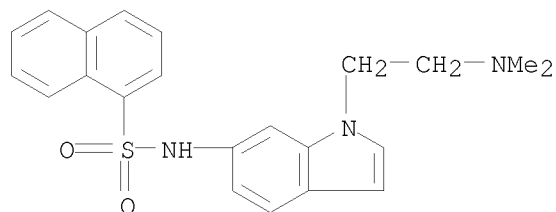
RN 753020-89-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



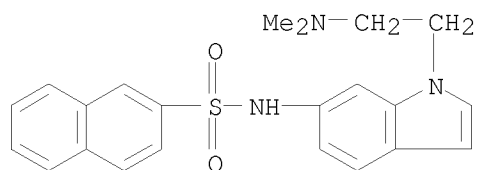
RN 753020-90-5 CAPLUS

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(CA INDEX NAME)



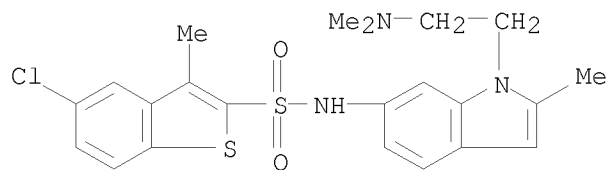
RN 753020-91-6 CAPLUS

CN 2-Naphthalenesulfonamide, N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



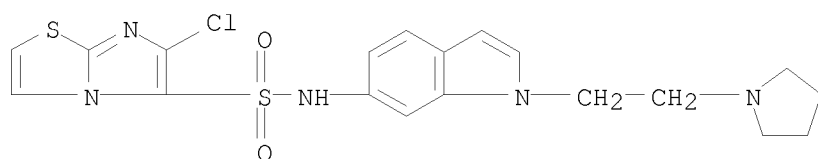
RN 753020-93-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-6-yl]-3-methyl-
(CA INDEX NAME)



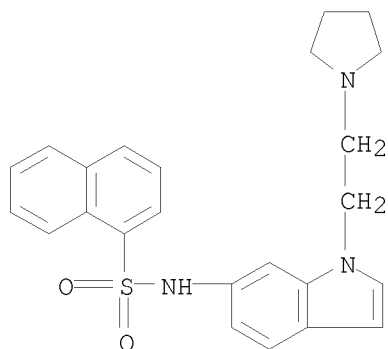
RN 753020-94-9 CAPLUS

CN Imidazo[2,1-b]thiazole-5-sulfonamide, 6-chloro-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



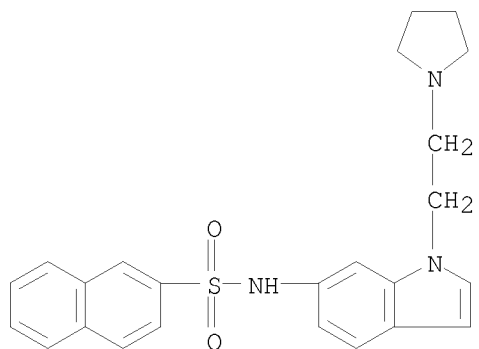
RN 753020-96-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]-
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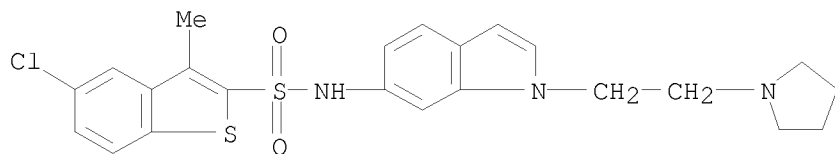
RN 753020-97-2 CAPLUS

CN 2-Naphthalenesulfonamide, N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]-
(CA INDEX NAME)



RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



REFERENCE COUNT:

68

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:412918 CAPLUS

DOCUMENT NUMBER: 140:423584

TITLE: A preparation of indole derivatives useful in the treatment of androgen-receptor related diseases

INVENTOR(S): Hermkens, Pedro Harold Han; Stock, Herman Thijs; Teerhuis, Neeltje Miranda; Lommerse, Johannes Petrus Maria; Van der Louw, Jaap

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

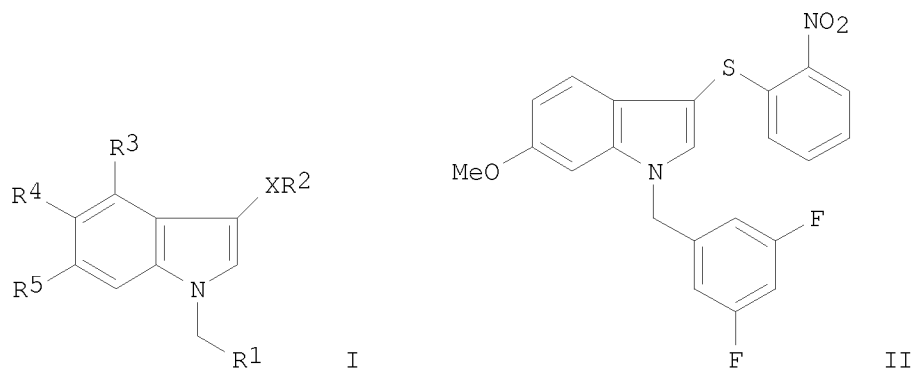
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041782	A1	20040521	WO 2003-EP50783	20031103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2504907	A1	20040521	CA 2003-2504907	20031103
AU 2003301853	A1	20040607	AU 2003-301853	20031103
BR 2003016020	A	20050920	BR 2003-16020	20031103
EP 1585727	A1	20051019	EP 2003-810458	20031103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1714078	A	20051228	CN 2003-80103950	20031103
JP 2006507293	T	20060302	JP 2004-549180	20031103
NZ 539657	A	20080430	NZ 2003-539657	20031103
RU 2328484	C2	20080710	RU 2005-117374	20031103
NO 2005002012	A	20050526	NO 2005-2012	20050425
ZA 2005003559	A	20060830	ZA 2005-3559	20050504
IN 2005CN00826	A	20070817	IN 2005-CN826	20050504
MX 2005PA04929	A	20050818	MX 2005-PA4929	20050506
US 20060128722	A1	20060615	US 2005-534945	20050506
LV 13359	B	20060320	LV 2005-68	20050607
PRIORITY APPLN. INFO.:			EP 2002-79648	A 20021107
			US 2002-424579P	P 20021107
			WO 2003-EP50783	W 20031103
OTHER SOURCE(S):	MARPAT 140:423584			
GI				



AB The invention relates to a preparation of indole derivs. of formula I [wherein: X = S, S(O), SO₂; R₁ is (un)substituted 5- or 6-membered monocyclic, (hetero/homo)cyclic ring; R₂ is 2-O₂NC₆H₄, 2-cyanophenyl, 2-hydroxymethylphenyl, pyridin-2-yl, pyridin-2-yl-N-oxide, etc.; R₃ is H, halogen or C₁-4alkyl; R₄ is H, OH, C₁-4alkoxy, or halogen; R₅ is H, OH, C₁-4alkoxy, NH₂, CN, halogen, C₁-4fluoroalkyl, or NO₂, etc.], useful for the treatment of androgen-receptor related diseases. Anti-androgenic activity of the invented compds. was determined in an in vitro bioassay of Chinese hamster ovary (CHO) cells stably transfected with the human androgen receptor expression plasmid and a reporter plasmid in which the MMTV-promoter was linked to the luciferase reporter gene. For instance, indole derivs. II (EC₅₀ < 5 nM; efficacy > 0.8) was prepared via N-benylation of 6-methoxyindole by 3,5-difluorobenzyl bromide, and subsequent addition of the obtained 1-(3,5-difluorobenzyl)-6-methoxy-1H-indole to 2-nitrobenzenesulfenyl chloride (example 1).

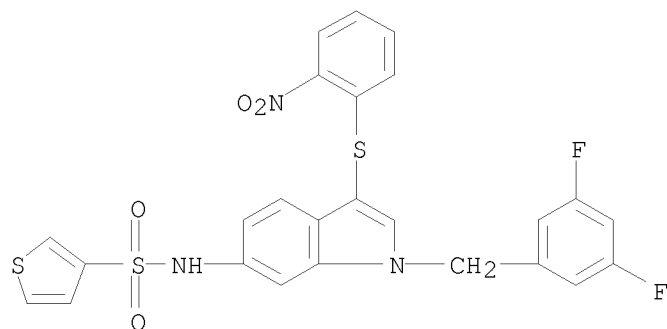
IT 691400-43-8P 691400-44-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. useful in the treatment of androgen-receptor related diseases)

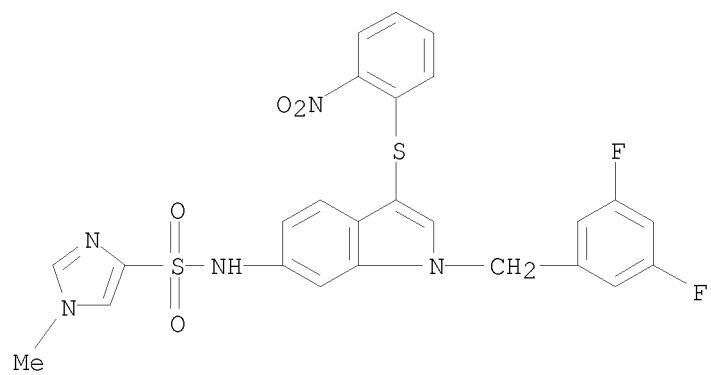
RN 691400-43-8 CAPLUS

CN 3-Thiophenesulfonamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]-1H-indol-6-yl]- (CA INDEX NAME)



RN 691400-44-9 CAPLUS

CN 1H-Imidazole-4-sulfonamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[(2-nitrophenyl)thio]-1H-indol-6-yl]-1-methyl- (CA INDEX NAME)



L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

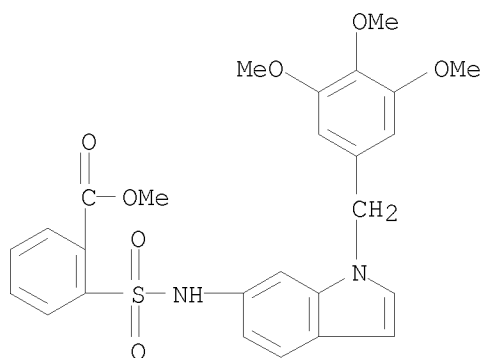
ACCESSION NUMBER: 2003:389755 CAPLUS
DOCUMENT NUMBER: 139:270249
TITLE: New Analogues of the Anticancer E7070: Synthesis and Pharmacology
AUTHOR(S): Laconde, G.; Pommery, N.; Depreux, P.; Berthelot, P.; Henichart, J.-P.
CORPORATE SOURCE: Institut de Chimie Pharmaceutique Albert Lespagnol, EA 2692, Lille, 59006, Fr.
SOURCE: Journal of Enzyme Inhibition and Medicinal Chemistry (2003), 18(2), 89-94
CODEN: JEIMAZ; ISSN: 1475-6366
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:270249

AB Cell cycle control in the G1 phase has attracted considerable attention in recent cancer research, because many of the important proteins involved in G1 progression or G1/S transition have been found to play a crucial role in proliferation, differentiation, transformation, and programmed cell death (apoptosis). E7070 is a novel antitumor sulfonamide, with a unique mode of action that affects G1 progression of the cell cycle. A series of compds. containing an N-[1-(3,4,5-trimethoxybenzyl)-1H-indol-5-yl]benzene sulfonamide, analogs of E7070, was synthesized and evaluated as potential antitumor agents. Cell cycle anal. with PC3 human prostate cancer cells revealed a cellular accumulation in the G1 phase.

IT 605657-93-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of anticancer E7070 analogs)

RN 605657-93-0 CAPLUS

CN Benzoic acid, 2-[[[1-[(3,4,5-trimethoxyphenyl)methyl]-1H-indol-6-yl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logy

LOGY IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

44.08

222.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.40

-6.40

STN INTERNATIONAL LOGOFF AT 12:12:53 ON 29 JUL 2008